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1: Bioorg Med Chem Lett. 2001 May 21;11(10):1333-7.

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# Ring-Constrained (N)-Methanocarba nucleosides as adenosine receptor agonists: independent 5'-Uronamide and 2'-deoxy modifications

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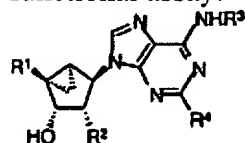
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## Abstract

Novel methanocarba adenosine analogues, having the pseudo-ribose northern (N) conformation preferred at adenosine receptors (ARs), were synthesized and tested in binding assays. The 5'-uronamide modification preserved [*N*<sup>6</sup>-(3-iodobenzyl)] or enhanced (*N*<sup>6</sup>-methyl) affinity at A<sub>3</sub>ARs, while the 2'-deoxy modification reduced affinity and efficacy in a functional assay.

## Graphical Abstract

Novel methanocarba adenosine analogues, having the pseudo-ribose northern (N) conformation preferred at adenosine receptors (ARs), were synthesized and testing in binding assays. The 5'-uronamide modification preserved [*N*<sup>6</sup>-(3-iodobenzyl)] or enhanced (*N*<sup>6</sup>-methyl) affinity at A<sub>3</sub>ARs, while the 2'-deoxy modification reduced affinity and efficacy in a functional assay.



R<sup>1</sup> = CH<sub>2</sub>OH, CONH-alkyl  
 R<sup>2</sup> = OH, H  
 R<sup>3</sup> = H, CH<sub>3</sub>, cyclopentyl, 3-I-benzyl  
 R<sup>4</sup> = H, Cl



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